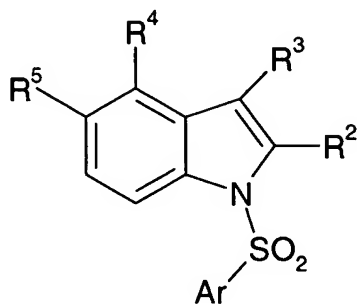


Amendments to the Claims:

This listing of claims replaces all prior versions and listings of claims in the application:

Listing of Claims:

1. (Currently Amended) A compound of formula (I):



(I)

wherein

Ar is

(1) ~~phenyl~~,

(2) ~~naphthyl~~,

(3) (1) a 5- to 10-membered monocyclic or bicyclic heterocyclic ring having 1 to 4 heteroatoms selected from the group consisting of oxygen, sulfur, or nitrogen, or

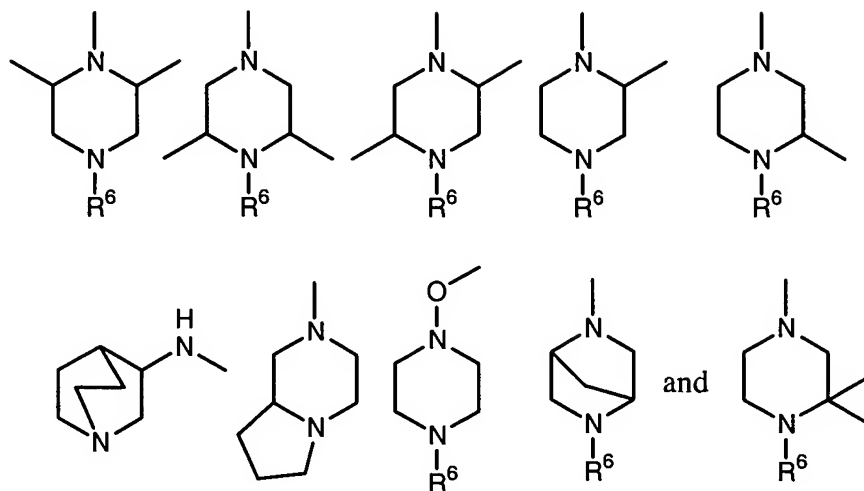
(4) (2) -R<sup>9</sup>-phenyl;

wherein the phenyl, ~~naphthyl~~, or heterocyclic ring is optionally substituted with halogen, C<sub>1-6</sub> alkyl, CF<sub>3</sub>, hydroxyl, C<sub>1-6</sub> alkoxy, OCF<sub>3</sub>, COCF<sub>3</sub>, CN, NO<sub>2</sub>, phenyloxy, phenyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>2-6</sub> alkenyl, -NR<sup>7</sup>R<sup>8</sup>, C<sub>1-6</sub> alkylcarboxyl, formyl, -C<sub>1-6</sub> alkyl-NH-CO-phenyl, -C<sub>1-6</sub> alkyl-CO-NH-phenyl, -NH-CO-C<sub>1-6</sub> alkyl, -CO-NR<sup>7</sup>R<sup>8</sup>, or SR<sup>7</sup>; wherein each of R<sup>7</sup> and R<sup>8</sup> is independently H or C<sub>1-6</sub> alkyl; and R<sup>9</sup> is C<sub>1-6</sub> alkyl or C<sub>2-6</sub> alkenyl, either of which is optionally substituted with phenyl or phenyloxy;

R<sup>2</sup> is H, phenyl, I, or C<sub>1-6</sub> alkyl;

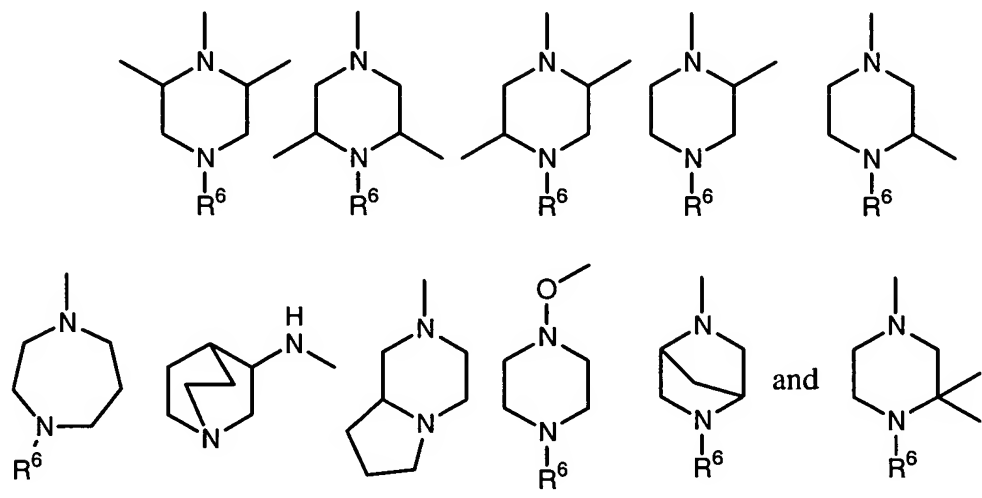
R<sup>3</sup> is H or 3-(1-azabicyclo[2.2.2]oct-2-en)yl;

$R^4$  is selected from the group consisting of:



wherein  $R^6$  is H,  $C_{1-6}$  alkyl, or benzyl; and

$R^5$  is H, hydroxy,  $C_{1-3}$  alkoxy, F,  $NO_2$ ,  $CF_3$ ,  $OCF_3$ , or is selected from the group consisting of:



or a pharmaceutically acceptable salt, hydrate, or stereoisomer thereof,  
 with the proviso that when  $R^2$  is alkyl,  $R^4$  is not H.

2. (Currently Amended) The compound according to claim 1, wherein

Ar is

(1) phenyl that is unsubstituted or optionally mono- or poly-substituted with halogen,  $C_{1-6}$  alkyl,  $CF_3$ , hydroxyl,  $C_{1-6}$  alkoxy,  $OCF_3$ ,  $CN$ ,  $NO_2$ , phenyloxy, phenyl, alkylsulfonyl,  $C_{1-6}$

~~alkenyl, NH<sub>2</sub>, NHR<sup>7</sup>, NR<sup>7</sup>R<sup>8</sup>, C<sub>1-6</sub> alkylcarboxyl, formyl, NH-CO-C<sub>1-6</sub> alkyl, CO-NR<sup>7</sup>R<sup>8</sup>, or SR<sup>7</sup> wherein each of R<sup>7</sup> and R<sup>8</sup> is independently H or C<sub>1-6</sub> alkyl;~~

~~(2) 1-naphthyl or 2-naphthyl that is unsubstituted or optionally mono- or poly-substituted with halogen, C<sub>1-6</sub> alkyl, CF<sub>3</sub>, hydroxyl, C<sub>1-6</sub> alkoxy, OCF<sub>3</sub>, CN, NO<sub>2</sub>, phenyloxy, phenyl, alkylsulfonyl, C<sub>2-6</sub> alkenyl, NH<sub>2</sub>, NHR<sup>7</sup>, NR<sup>7</sup>R<sup>8</sup>, C<sub>1-6</sub> alkylcarboxyl, formyl, NH-CO-C<sub>1-6</sub> alkyl, CO-NR<sup>7</sup>R<sup>8</sup>, or SR<sup>7</sup> wherein each of R<sup>7</sup> and R<sup>8</sup> is independently H or C<sub>1-6</sub> alkyl;~~

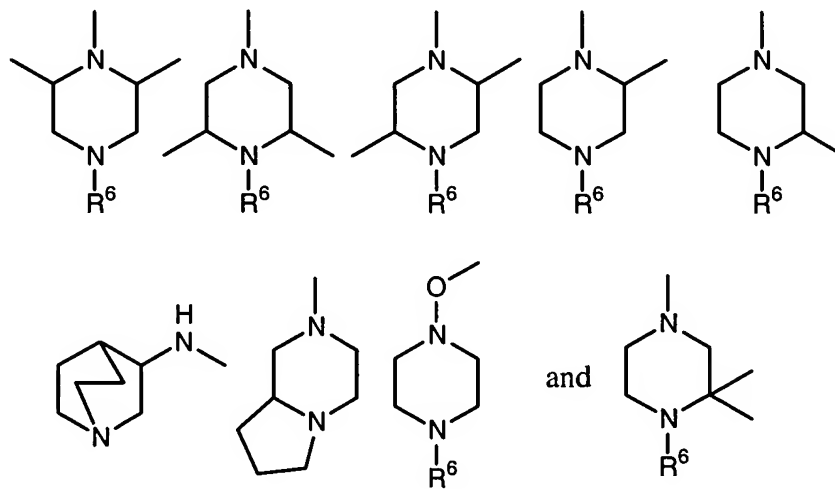
(3) (1) cinnamoyl;

(4) (2) benzyl;

(5) (3) 1,1-diphenylethyl;

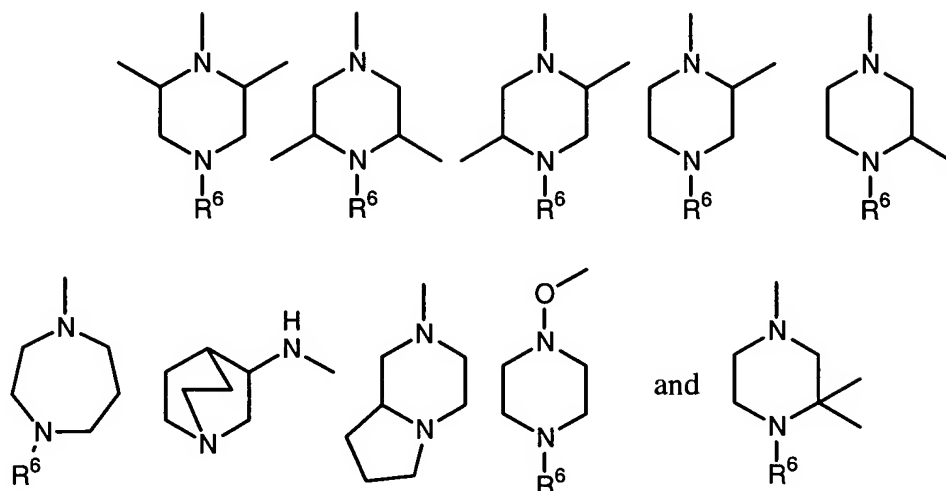
(6) (4) a monocyclic or bicyclic heterocyclic ring selected from the group consisting of furyl, pyrrolyl, triazolyl, diazolyl, oxazolyl, thiazolyl, oxadiazolyl, isothiazolyl, isoxazolyl, thiadiazolyl, pyrimidyl, pyrazinyl, thienyl, imidazolyl, pyrazolyl, indolyl, quinoliny, isoquinoliny, benzofuryl, benzothienyl, and benzoxadiazolyl, said heterocyclic ring being optionally mono- or di-substituted substituted with halogen or C<sub>1-6</sub> alkyl;

R<sup>4</sup> is selected from the group consisting of:



wherein R<sup>6</sup> is H, C<sub>1-6</sub> alkyl, or benzyl; and

R<sup>5</sup> is H, hydroxy, C<sub>1-3</sub> alkoxy, F, NO<sub>2</sub>, CF<sub>3</sub>, OCF<sub>3</sub> or is selected from the group consisting of:



3. (Currently Amended) A compound according to claim 1, wherein

Ar is

(1) phenyl,

(2) 1-naphthyl or 2-naphthyl,

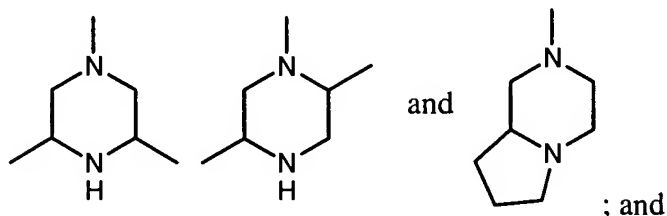
(3) (1) a 5- to 10-membered monocyclic or bicyclic heterocyclic ring having 1 to 4 heteroatoms selected from the group consisting of oxygen, sulfur, or nitrogen, or

(4) (2) -R<sup>9</sup>-phenyl;

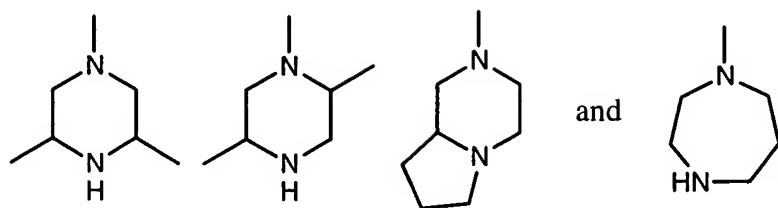
wherein the phenyl, ~~naphthyl~~, or heterocyclic ring is optionally substituted with F, Cl, Br, C<sub>1-6</sub> alkyl, CF<sub>3</sub>, hydroxyl, C<sub>1-6</sub> alkoxy, OCF<sub>3</sub>, phenyl, C<sub>2-6</sub> alkenyl, -NR<sup>7</sup>R<sup>8</sup>, -NH-CO-C<sub>1-6</sub> alkyl, or SR<sup>7</sup>, wherein each of R<sup>7</sup> and R<sup>8</sup> is independently H or C<sub>1-6</sub> alkyl; and R<sup>9</sup> is C<sub>1-2</sub> alkyl;

R<sup>2</sup> is H, phenyl, I, or C<sub>1-6</sub> alkyl;

R<sup>4</sup> is selected from the group consisting of:



R<sup>5</sup> is C<sub>1-3</sub> alkoxy or a heterocyclic ring selected from the group consisting of:



4. (Cancelled)

5. (Cancelled)

6. (Original) A compound according to claim 1, wherein Ar is a heterocyclic ring selected from the group consisting of furyl, pyrrolyl, triazolyl, diazolyl, oxazolyl, thiazolyl, oxadiazolyl, isothiazolyl, isoxazolyl, thiadiazolyl, pyridinyl, pyrimidyl, pyrazinyl, thienyl, imidazolyl, pyrazolyl, indolyl, quinolinyl, isoquinolinyl, benzofuryl, benzothienyl, and benzoxadiazolyl, each of which is optionally substituted with halogen, C<sub>1-6</sub> alkyl, CF<sub>3</sub>, hydroxyl, C<sub>1-6</sub> alkoxy, OCF<sub>3</sub>, CN, NO<sub>2</sub>, phenyloxy, phenyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>2-6</sub> alkenyl, -NR<sup>7</sup>R<sup>8</sup>, C<sub>1-6</sub> alkylcarboxyl, formyl, -NH-CO-C<sub>1-6</sub> alkyl, -CO-NR<sup>7</sup>R<sup>8</sup>, or SR<sup>7</sup>; wherein each of R<sup>7</sup> and R<sup>8</sup> is independently H or C<sub>1-6</sub> alkyl.

7. (Original) A compound according to claim 1, wherein Ar is a heterocyclic ring selected from the group consisting of pyridinyl, thienyl, imidazolyl, pyrazolyl, benzothienyl, and benzoxadiazolyl, each of which is optionally substituted with halogen or C<sub>1-6</sub> alkyl.

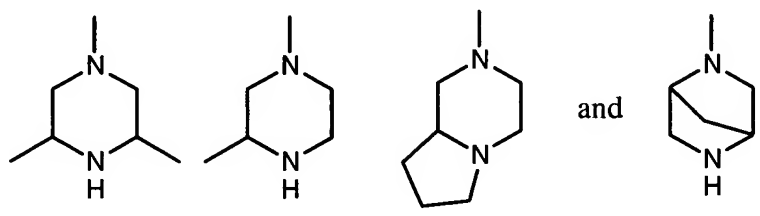
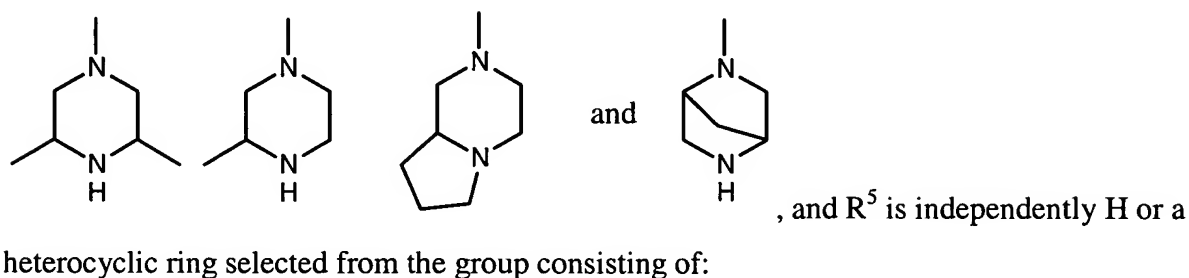
8. (Original) A compound according to claim 1, wherein Ar is 2-pyridyl, 3-pyridyl, or 4-pyridyl.

9. (Original) A compound according to claim 1, wherein Ar is a 5- to 7-membered aromatic, partially saturated, or completely saturated heterocyclic ring having 1 to 4 heteroatoms selected from the group consisting of O, S, or NR<sup>10</sup>, where R<sup>10</sup> is H, C<sub>1-6</sub> alkyl, -CO-CF<sub>3</sub>, or absent.

10. (Original) A compound according to claim 1, wherein Ar is -R<sup>9</sup>-phenyl, wherein R<sup>9</sup> is C<sub>1-3</sub> alkyl or C<sub>2-3</sub> alkenyl, either of which is optionally substituted with phenyl or phenyloxy, each phenyl being optionally substituted with F, Cl, Br, methyl, CF<sub>3</sub>, C<sub>1-4</sub> alkoxy, OCF<sub>3</sub>, CN, NO<sub>2</sub>, phenyloxy, phenyl, methylsulfonyl, or -NR<sup>7</sup>R<sup>8</sup>; and each of R<sup>7</sup> and R<sup>8</sup> being independently H or C<sub>1-6</sub> alkyl.

11. (Original) A compound according to claim 1, wherein each of R<sup>2</sup> and R<sup>3</sup> is H.

12. (Previously Presented) A compound according to claim 1, wherein R<sup>4</sup> is independently a heterocyclic ring selected from the group consisting of:



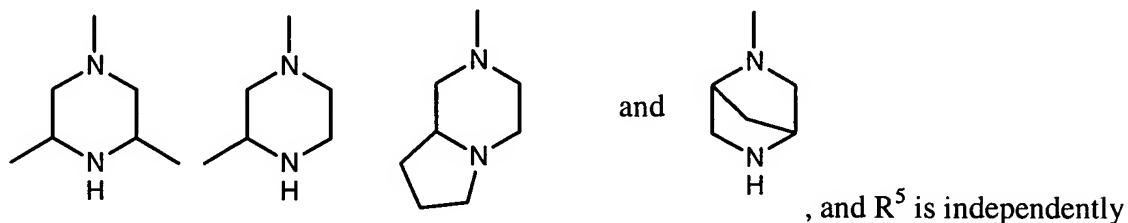
wherein R<sup>6</sup> is H, C<sub>1-3</sub> alkyl, or benzyl.

13. (Cancelled)

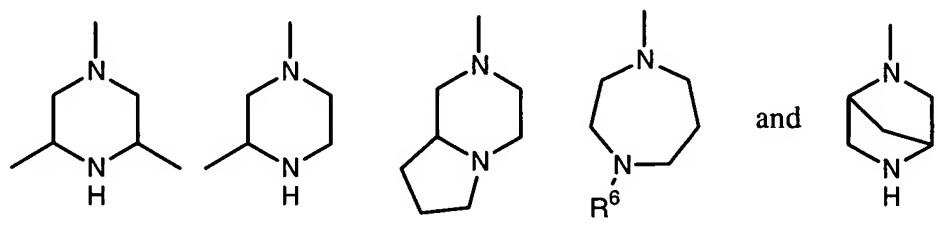
14. (Cancelled)

15. (Previously Presented) A compound according to claim 1, wherein Ar is a heterocyclic ring selected from the group consisting of pyridinyl, thienyl, imidazolyl, pyrazolyl, benzothienyl, and benzoxadiazolyl, each being optionally substituted with halogen or C<sub>1-6</sub> alkyl;

each of  $R^2$  and  $R^3$  is H; and  $R^4$  is independently a heterocyclic ring selected from the group consisting of:

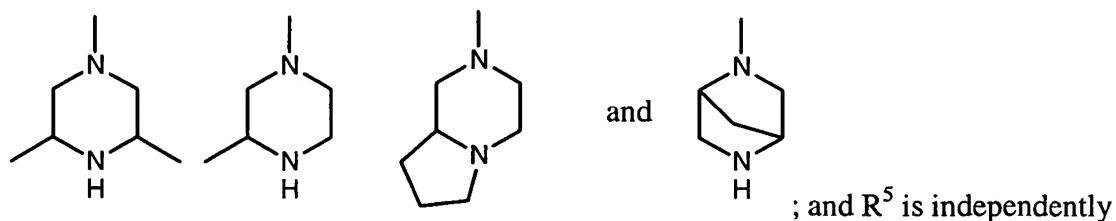


H or a heterocyclic ring selected from the group consisting of:

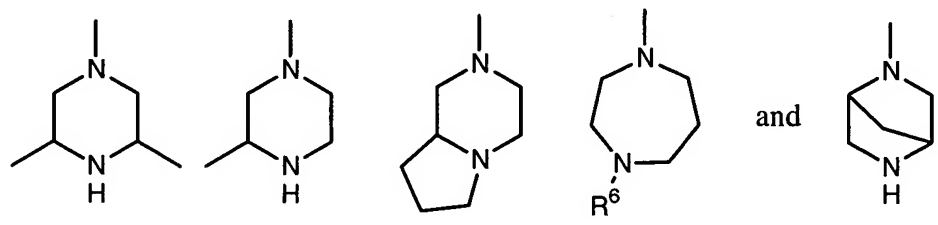


wherein  $R^6$  is H,  $C_{1-3}$  alkyl, or benzyl.

16. (Previously Presented) A compound according to claim 1, wherein Ar is 2-pyridyl, 3-pyridyl, or 4-pyridyl; each of  $R^2$  and  $R^3$  is H; and  $R^4$  is independently a heterocyclic ring selected from the group consisting of:

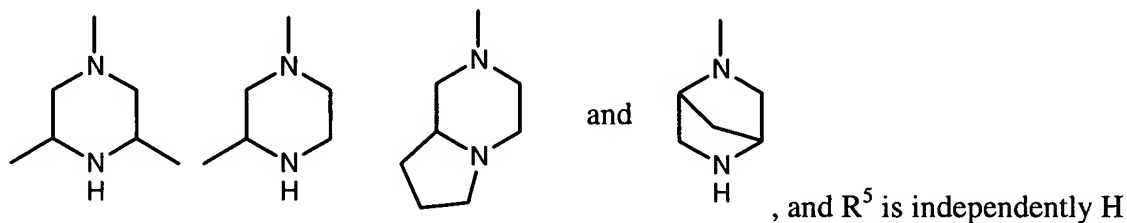


H or a heterocyclic ring selected from the group consisting of:

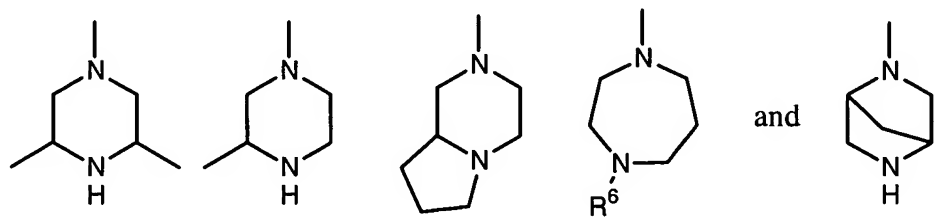


wherein  $R^6$  is H,  $C_{1-3}$  alkyl, or benzyl.

17. (Previously Presented) A compound according to claim 1, wherein Ar is -R<sup>9</sup>-phenyl; each of R<sup>2</sup> and R<sup>3</sup> is H; and R<sup>4</sup> is independently a heterocyclic ring selected from the group consisting of:



or a heterocyclic ring selected from the group consisting of:



wherein R<sup>6</sup> is H, C<sub>1-3</sub> alkyl, or benzyl; R<sup>9</sup> is C<sub>1-3</sub> alkyl or C<sub>2-3</sub> alkenyl, either of which is optionally substituted with phenyl or phenoxy; each phenyl being optionally substituted with F, Cl, Br, methyl, CF<sub>3</sub>, C<sub>1-4</sub> alkoxy, OCF<sub>3</sub>, CN, NO<sub>2</sub>, phenoxy, phenyl, methylsulfonyl, or -NR<sup>7</sup>R<sup>8</sup>; and each of R<sup>7</sup> and R<sup>8</sup> being independently H or C<sub>1-6</sub> alkyl.

18. (Cancelled)

19. (Cancelled)

20. (Cancelled)

21. (Cancelled)

22. (Previously Presented) A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier.

23. (Cancelled)



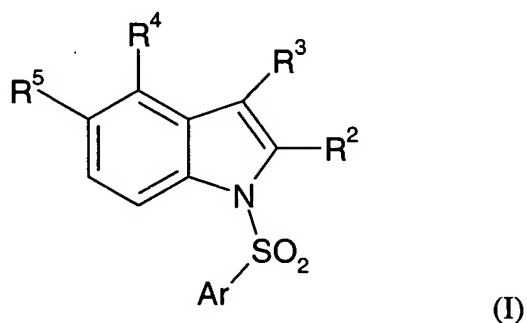
24. (Previously Presented) A method of treatment of schizophrenia or depression comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 1.

25. (Cancelled)

26. (Canceled).

27. (Canceled).

28. (Currently Amended) A compound of formula (I):



wherein

Ar is

(1) phenyl,

(2) naphthyl,

(3) a 5- to 10-membered monocyclic or bicyclic heterocyclic ring having 1 to 4 heteroatoms selected from the group consisting of oxygen, sulfur, or nitrogen, or

(4) -R<sup>9</sup>-phenyl;

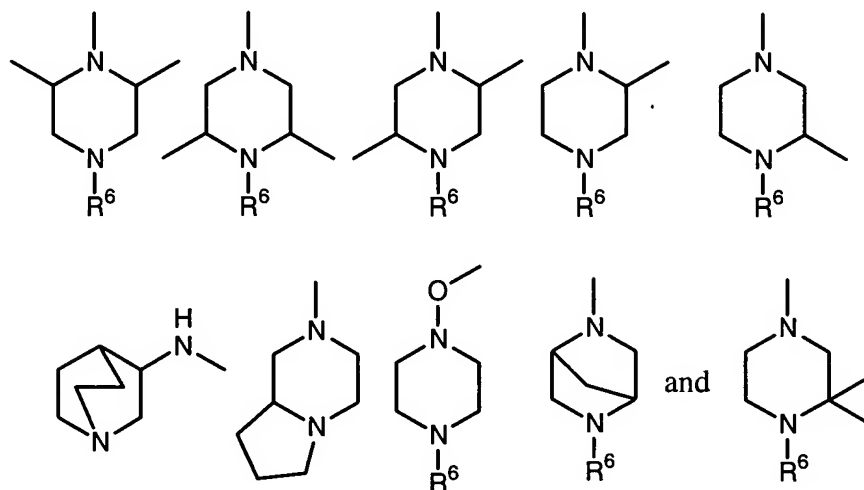
wherein the phenyl, naphthyl, or heterocyclic ring is optionally substituted with halogen, C<sub>1-6</sub> alkyl, CF<sub>3</sub>, hydroxyl, C<sub>1-6</sub> alkoxy, OCF<sub>3</sub>, COCF<sub>3</sub>, CN, NO<sub>2</sub>, phenyloxy, phenyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>2-6</sub> alkenyl, -NR<sup>7</sup>R<sup>8</sup>, C<sub>1-6</sub> alkylcarboxyl, formyl, -C<sub>1-6</sub> alkyl-NH-CO-phenyl, -C<sub>1-6</sub> alkyl-CO-NH-phenyl, -NH-CO-C<sub>1-6</sub> alkyl, -CO-NR<sup>7</sup>R<sup>8</sup>, or SR<sup>7</sup>; wherein each of R<sup>7</sup> and R<sup>8</sup> is

independently H or C<sub>1-6</sub> alkyl; and R<sup>9</sup> is C<sub>1-6</sub> alkyl or C<sub>2-6</sub> alkenyl, either of which is optionally substituted with phenyl or phenyloxy;

R<sup>2</sup> is H, phenyl, I, or C<sub>1-6</sub> alkyl;

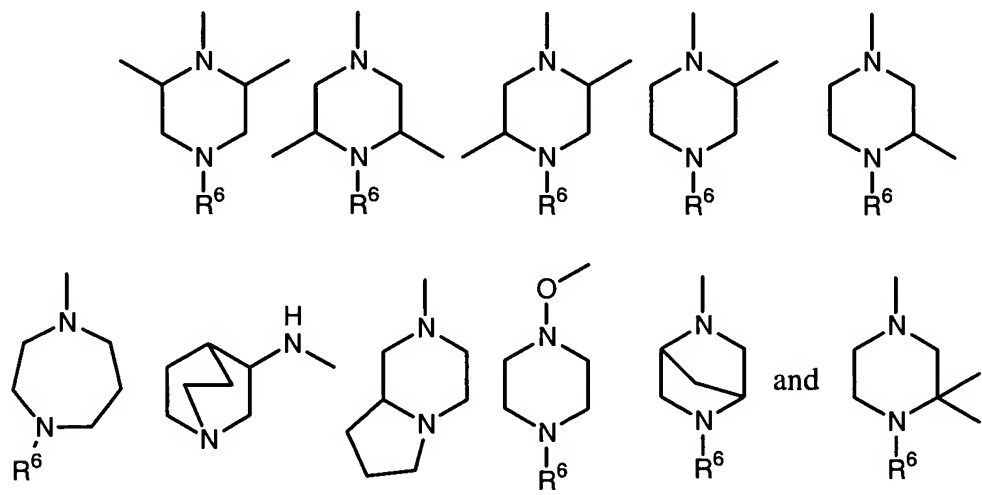
R<sup>3</sup> is H or 3-(1-azabicyclo[2.2.2]oct-2-en)yl;

R<sup>4</sup> is H or is selected from the group consisting of:



wherein R<sup>6</sup> is H, C<sub>1-6</sub> alkyl, or benzyl; and

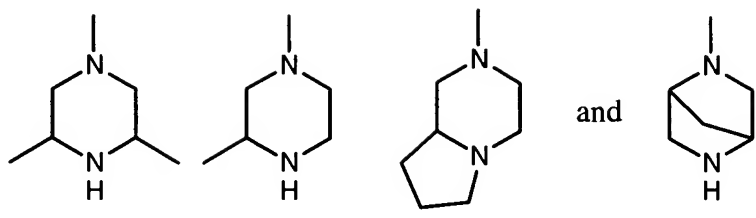
R<sup>5</sup> is hydroxy, C<sub>1-3</sub> alkoxy, F, NO<sub>2</sub>, CF<sub>3</sub>, OCF<sub>3</sub>, or is selected from the group consisting of:



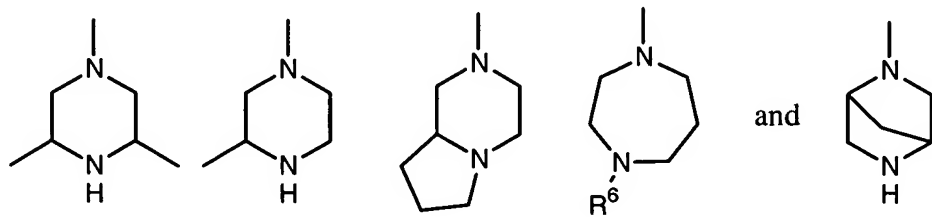
or a pharmaceutically acceptable salt, hydrate, or stereoisomer thereof,

with the proviso that when R<sup>2</sup> is alkyl, R<sup>4</sup> is not H.

29. (Previously Presented) The compound of claim 1, wherein  $R^5$  is H.
30. (Previously Presented) The compound of claim 28, wherein  $R^4$  is H.
31. (Cancelled)
32. (Previously Presented) A compound that is 3-(1-azabicyclo[2.2.2]oct-2-en-3-yl)-1-[(4-fluorophenyl)sulfonyl]-1H-indole.
33. (Previously Presented) A pharmaceutical composition comprising a compound of claim 28 or 30 and a pharmaceutically acceptable carrier.
34. (Previously Presented) A method of treatment of schizophrenia or depression comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 28.
35. Canceled.
36. (Currently Amended) A method of treating schizophrenia[[,]] or depression, comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 28.
37. (Currently Amended) A method of treating schizophrenia[[,]] or depression, comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 29 or 30.
38. (Previously Presented) A compound according to claim 28, wherein  $R^4$  is independently H or a heterocyclic ring selected from the group consisting of:



and  $R^5$  is independently a heterocyclic ring selected from the group consisting of:

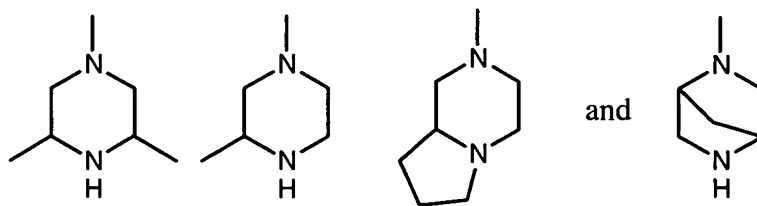


wherein  $R^6$  is H,  $C_{1-3}$  alkyl, or benzyl.

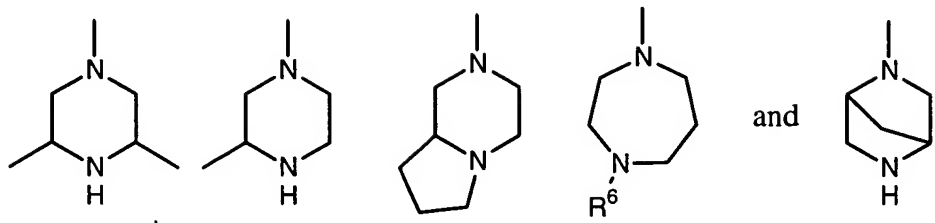
39. (Cancelled)

40. (Cancelled)

41. (Previously Presented) A compound according to claim 1, wherein Ar is a heterocyclic ring selected from the group consisting of pyridinyl, thienyl, imidazolyl, pyrazolyl, benzothienyl, and benzoxadiazolyl, each being optionally substituted with halogen or  $C_{1-6}$  alkyl; each of  $R^2$  and  $R^3$  is H; and  $R^4$  is independently H or a heterocyclic ring selected from the group consisting of:

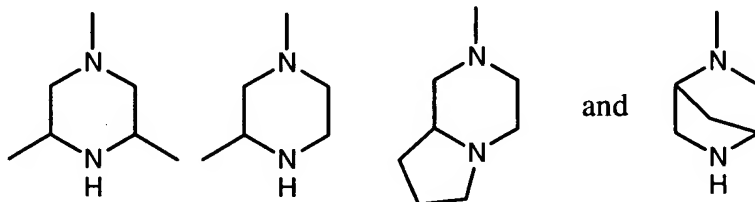


, and  $R^5$  is independently a heterocyclic ring selected from the group consisting of:

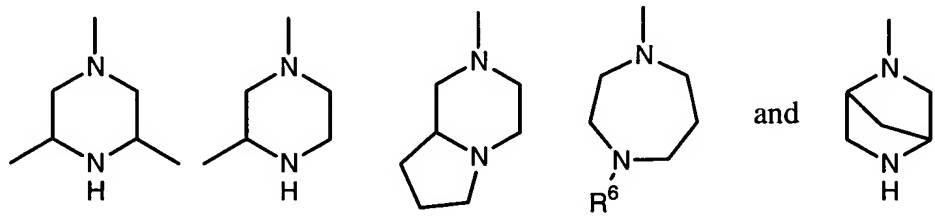


wherein  $R^6$  is H,  $C_{1-3}$  alkyl, or benzyl.

42. (Previously Presented) A compound according to claim 28, wherein Ar is 2-pyridyl, 3-pyridyl, or 4-pyridyl; each of  $R^2$  and  $R^3$  is H; and  $R^4$  is independently H or a heterocyclic ring selected from the group consisting of:

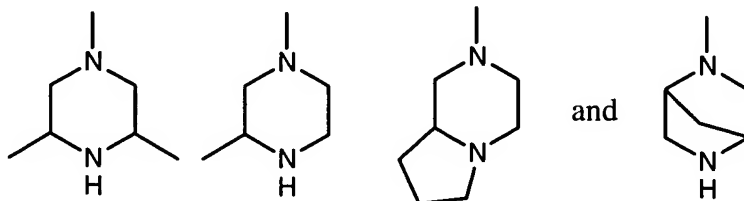


, and  $R^5$  is independently a heterocyclic ring selected from the group consisting of:

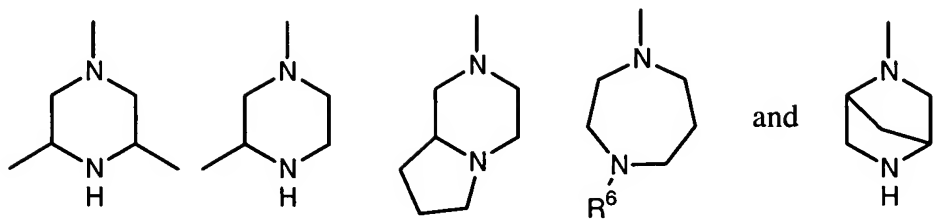


wherein  $R^6$  is H,  $C_{1-3}$  alkyl, or benzyl.

43. (Previously Presented) A compound according to claim 1, wherein Ar is  $-R^9$ -phenyl; each of  $R^2$  and  $R^3$  is H; and  $R^4$  is independently H or a heterocyclic ring selected from the group consisting of:



, and  $R^5$  is independently a heterocyclic ring selected from the group consisting of:



wherein  $R^6$  is H,  $C_{1-3}$  alkyl, or benzyl;  $R^9$  is  $C_{1-3}$  alkyl or  $C_{2-3}$  alkenyl, either of which is optionally substituted with phenyl or phenyloxy; each phenyl being optionally substituted with F, Cl, Br, methyl,  $CF_3$ ,  $C_{1-4}$  alkoxy,  $OCF_3$ , CN,  $NO_2$ , phenyloxy, phenyl, methylsulfonyl, or  $-NR^7R^8$ ; and each of  $R^7$  and  $R^8$  being independently H or  $C_{1-6}$  alkyl.

44. (Previously Presented) A method of treatment of schizophrenia or depression comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 29.

45. (Cancelled)

46. (Previously Presented) A pharmaceutical composition comprising a compound of claim 29 and a pharmaceutically acceptable carrier.

47. (Currently Amended) The compound according to claim 28, wherein

Ar is

~~(1) phenyl that is unsubstituted or optionally mono or poly substituted with halogen,  $C_{1-6}$  alkyl,  $CF_3$ , hydroxyl,  $C_{1-6}$  alkoxy,  $OCF_3$ , CN,  $NO_2$ , phenyloxy, phenyl, alkylsulfonyl,  $C_{1-6}$  alkenyl,  $NH_2$ ,  $NHR^7$ ,  $NR^7R^8$ ,  $C_{1-6}$  alkylcarboxyl, formyl,  $NH-CO-C_{1-6}$  alkyl,  $CO-NR^7R^8$ , or  $SR^7$  wherein each of  $R^7$  and  $R^8$  is independently H or  $C_{1-6}$  alkyl;~~

~~(2) 1-naphthyl or 2-naphthyl that is unsubstituted or optionally mono or poly substituted with halogen,  $C_{1-6}$  alkyl,  $CF_3$ , hydroxyl,  $C_{1-6}$  alkoxy,  $OCF_3$ , CN,  $NO_2$ , phenyloxy, phenyl, alkylsulfonyl,  $C_{2-6}$  alkenyl,  $NH_2$ ,  $NHR^7$ ,  $NR^7R^8$ ,  $C_{1-6}$  alkylcarboxyl, formyl,  $NH-CO-C_{1-6}$  alkyl,  $CO-NR^7R^8$ , or  $SR^7$  wherein each of  $R^7$  and  $R^8$  is independently H or  $C_{1-6}$  alkyl;~~

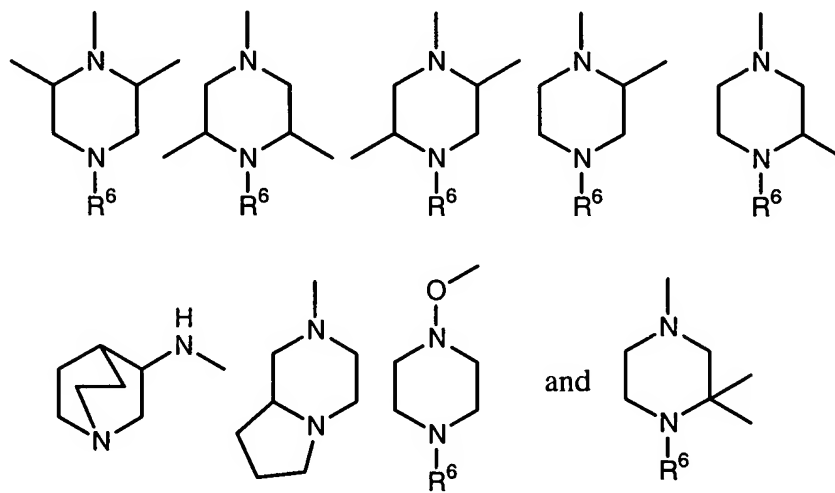
(3) (1) cinnamoyl;

(4) (2) benzyl;

(5) (3) 1,1-diphenylethyl;

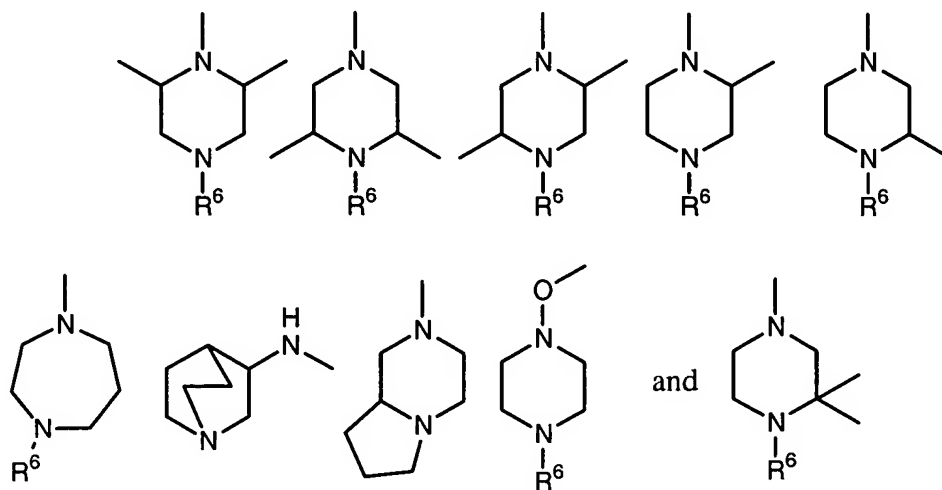
(6) (4) a monocyclic or bicyclic heterocyclic ring selected from the group consisting of furyl, pyrrolyl, triazolyl, diazolyl, oxazolyl, thiazolyl, oxadiazolyl, isothiazolyl, isoxazolyl, thiadiazolyl, pyrimidyl, pyrazinyl, thienyl, imidazolyl, pyrazolyl, indolyl, quinoliny, isoquinoliny, benzofuryl, benzothienyl, and benzoxadiazolyl, said heterocyclic ring being optionally mono- or di-substituted substituted with halogen or C<sub>1-6</sub> alkyl;

R<sup>4</sup> is H or is selected from the group consisting of:

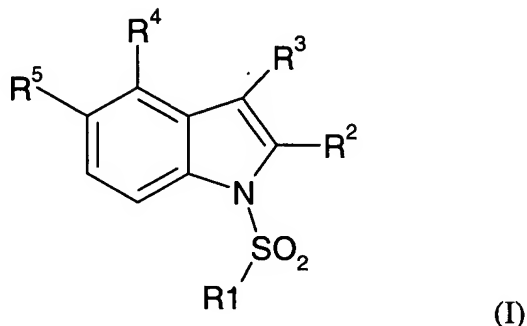


wherein R<sup>6</sup> is H, C<sub>1-6</sub> alkyl, or benzyl; and

R<sup>5</sup> is hydroxy, C<sub>1-3</sub> alkoxy, F, NO<sub>2</sub>, CF<sub>3</sub>, OCF<sub>3</sub> or is selected from the group consisting of:



48. (Currently Amended) A compound of formula (I):



wherein

R<sup>1</sup> is -SO<sub>2</sub>Ar; -SO<sub>2</sub>(alkyl);

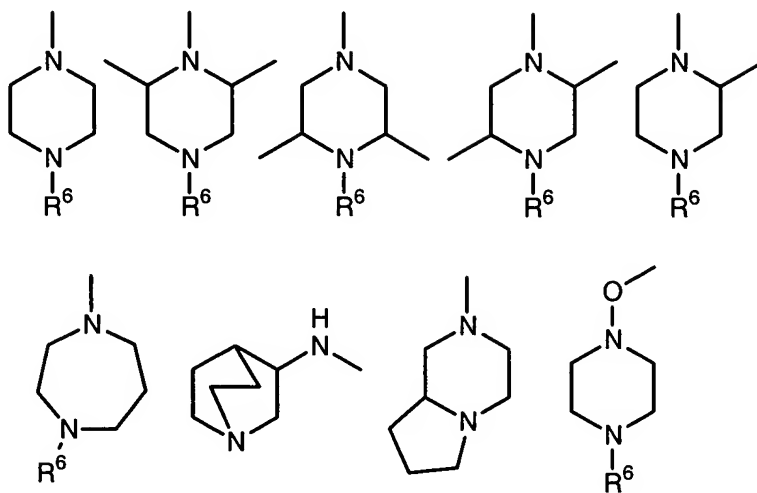
Ar is ~~phenyl, optionally substituted with F, Cl, Br, C<sub>1-6</sub>-alkyl, CF<sub>3</sub>, hydroxyl, C<sub>1-6</sub>-alkoxy, OCF<sub>3</sub>, NO<sub>2</sub>, amino, alkylamino, dialkylamino, methylcarboxyl, aminocarbonyl, or SR<sup>7</sup>; wherein~~  
R<sup>7</sup> is H or C<sub>1-6</sub>-alkyl; 1-naphthyl, 2-naphthyl; a bicyclic heterocyclic ring or a 5- to 7-membered partially or completely saturated heterocyclic ring each having 1 to 4 heteroatoms selected from the group consisting of oxygen, sulfur, or nitrogen; and alkyl is linear or branched C<sub>1-6</sub> alkyl;

R<sup>2</sup> is H or linear or branched C<sub>1-4</sub> alkyl;

R<sup>3</sup> is H, or 3-(1-azabicyclo[2.2.2]oct-2-en)yl, or 3-quinuclidinyl;



R<sup>4</sup> is H or the following amine groups:



wherein R<sup>6</sup> is H or a linear or branched C<sub>1-6</sub> alkyl; and

R<sup>5</sup> is R<sup>4</sup> or H, hydroxy, C<sub>1-3</sub> alkoxy, F, NO<sub>2</sub>, CF<sub>3</sub>, OCF<sub>3</sub>;

and pharmaceutically acceptable salts, hydrates, or stereoisomeric forms thereof.

49. (Cancelled)

50. (Currently Amended) The compound of claim 48, wherein the compound is selected from:

- ~~1-(phenylsulfonyl)-4-(1-piperazinyl)-1H-indole,~~
- ~~1-[(4-fluorophenyl)sulfonyl]-4-(1-piperazinyl)-1H-indole,~~
- ~~1-[(5-chloro-3-methyl-1-benzothien-2-yl)sulfonyl]-4-(1-piperazinyl)-1H-indole, or~~
- ~~3-(1-azabicyclo[2.2.2]oct-2-en-3-yl)-1-(phenylsulfonyl)-1H-indole,~~
- ~~5-methoxy-1-(phenylsulfonyl)-4-(1-piperazinyl)-1H-indole,~~
- ~~4-(4-ethyl-1-piperazinyl)-1-(phenylsulfonyl)-1H-indole,~~
- ~~1-[(4-methylphenyl)sulfonyl]-4-(4-methyl-1-piperazinyl)-1H-indole,~~
- ~~1-(phenylsulfonyl)-5-(1-piperazinyl)-1H-indole,~~
- ~~4-(2,5-dimethyl-1-piperazinyl)-1-(phenylsulfonyl)-1H-indole,~~

~~4-(2,6-dimethyl-1-piperazinyl)-1-(phenylsulfonyl)-1H-indole,~~  
~~4-(1,4-diazepan-1-yl)-1-(phenylsulfonyl)-1H-indole,~~  
~~2-[1-(phenylsulfonyl)-1H-indol-4-yl]octahydropyrrolo[1,2-a]pyrazine-1-(2-naphthylsulfonyl)-4-(1-piperazinyl)-1H-indole,~~  
~~1-(1-naphthylsulfonyl)-4-(1-piperazinyl)-1H-indole,~~  
~~1-[(4-methylphenyl)sulfonyl]-4-(1-piperazinyl)-1H-indole,~~  
~~N-(1-azabicyclo[2.2.2]oct-3-yl)-N-{1-[(4-methylphenyl)sulfonyl]-1H-indol-4-yl}-amine,~~  
~~2-ethyl-4-(4-ethyl-1-piperazinyl)-1-[(phenyl)sulfonyl]-1H-indole,~~  
~~4-(2,5-dimethyl-1-piperazinyl)-2-ethyl-1-(phenylsulfonyl)-1H-indole,~~  
~~4-(2,5-dimethyl-1-piperazinyl)-1-[(4-methylphenyl)sulfonyl]-2-propyl-1H-indole,~~  
~~4-(4-ethyl-1-piperazinyl)-1-[(4-methylphenyl)sulfonyl]-2-propyl-1H-indole,~~  
~~4-(4-ethyl-1-piperazinyl)-5-fluoro-1-[(4-methylphenyl)sulfonyl]-1H-indole,~~  
~~5-fluoro-4-(1-piperazinyl)-1-[[4-(trifluoromethyl)phenyl]sulfonyl]-1H-indole,~~  
~~5-chloro-1-(phenylsulfonyl)-4-(1-piperazinyl)-1H-indole,~~  
~~1-[(5-chloro-3-methyl-1-benzothien-2-yl)sulfonyl]-5-methoxy-4-(1-piperazinyl)-1H-indole,~~  
  
~~1-[(5-chloro-3-methyl-1-benzothien-2-yl)sulfonyl]-5-(1-piperazinyl)-1H-indole,~~  
~~1-[(4-methylphenyl)sulfonyl]-4-(3-methyl-1-piperazinyl)-1H-indole,~~  
~~1-[(4-methylphenyl)sulfonyl]-4-(piperidinyl)-1H-indole, or~~  
~~2-ethyl-1-(4-methylphenylsulfonyl)-4-(1-piperazinyl)-1H-indole.~~

51. (Cancelled)

52. (Cancelled)

53. (Cancelled)

54. (Previously Presented) A method of treatment of schizophrenia or depression comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 48.

55. (Previously Presented) A method of treatment of schizophrenia or depression comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 49.

56. (Previously Presented) A method of treatment of schizophrenia or depression comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 50.

57. Canceled.

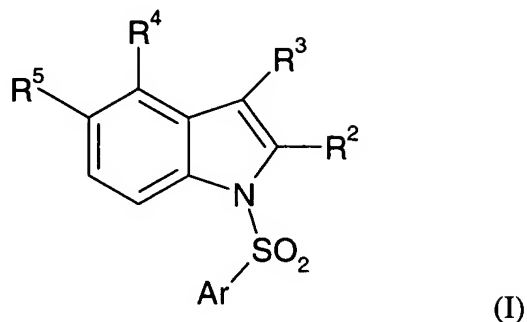
58. Canceled.

59. (Previously Presented) A pharmaceutical composition comprising a compound of claim 48 and a pharmaceutically acceptable carrier.

60. (Previously Presented) A pharmaceutical composition comprising a compound of claim 49 and a pharmaceutically acceptable carrier.

61. (Previously Presented) A pharmaceutical composition comprising a compound of claim 50 and a pharmaceutically acceptable carrier.

62. (New) A compound of formula (I):



wherein

Ar is

(1) phenyl, or

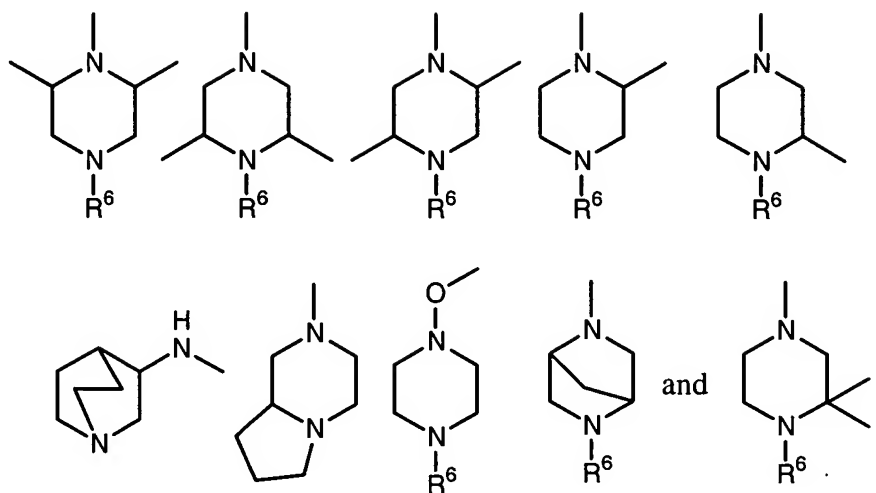
(2) naphthyl,

wherein the phenyl or naphthyl ring is optionally substituted with halogen, C<sub>1-6</sub> alkyl, CF<sub>3</sub>, hydroxyl, C<sub>1-6</sub> alkoxyl, OCF<sub>3</sub>, COCF<sub>3</sub>, CN, NO<sub>2</sub>, phenyloxy, phenyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>2-6</sub> alkenyl, -NR<sup>7</sup>R<sup>8</sup>, C<sub>1-6</sub> alkylcarboxyl, formyl, -C<sub>1-6</sub> alkyl-NH-CO-phenyl, -C<sub>1-6</sub> alkyl-CO-NH-phenyl, -NH-CO-C<sub>1-6</sub> alkyl, -CO-NR<sup>7</sup>R<sup>8</sup>, or SR<sup>7</sup>; wherein each of R<sup>7</sup> and R<sup>8</sup> is independently H or C<sub>1-6</sub> alkyl; and R<sup>9</sup> is C<sub>1-6</sub> alkyl or C<sub>2-6</sub> alkenyl, either of which is optionally substituted with phenyl or phenyloxy;

R<sup>2</sup> is H, phenyl, I, or C<sub>1-6</sub> alkyl;

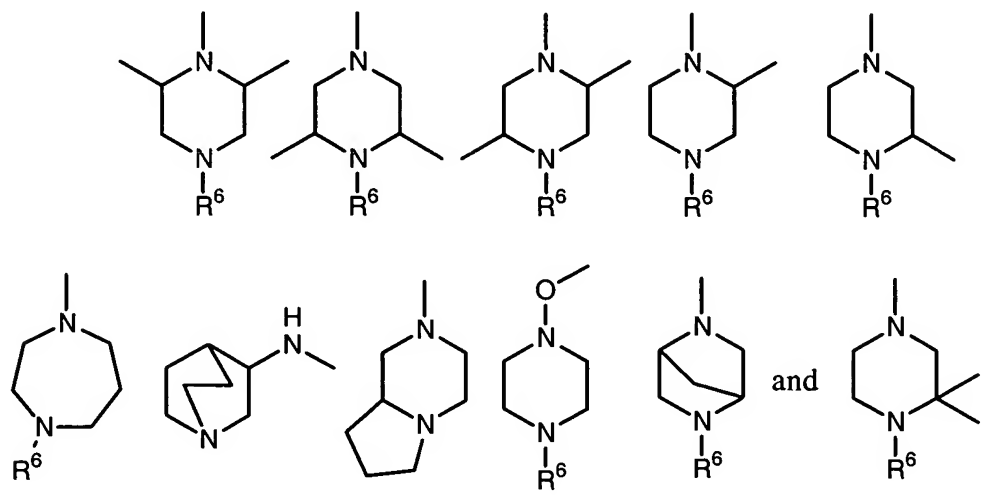
R<sup>3</sup> is H or 3-(1-azabicyclo[2.2.2]oct-2-en)yl;

R<sup>4</sup> is selected from the group consisting of:



wherein  $R^6$  is H,  $C_{1-6}$  alkyl, or benzyl; and

$R^5$  is H, hydroxy,  $C_{1-3}$  alkoxy, F,  $NO_2$ ,  $CF_3$ ,  $OCF_3$ , or is selected from the group consisting of:



or a pharmaceutically acceptable salt, hydrate, or stereoisomer thereof,  
 with the proviso that when  $R^2$  is alkyl,  $R^4$  is not H.

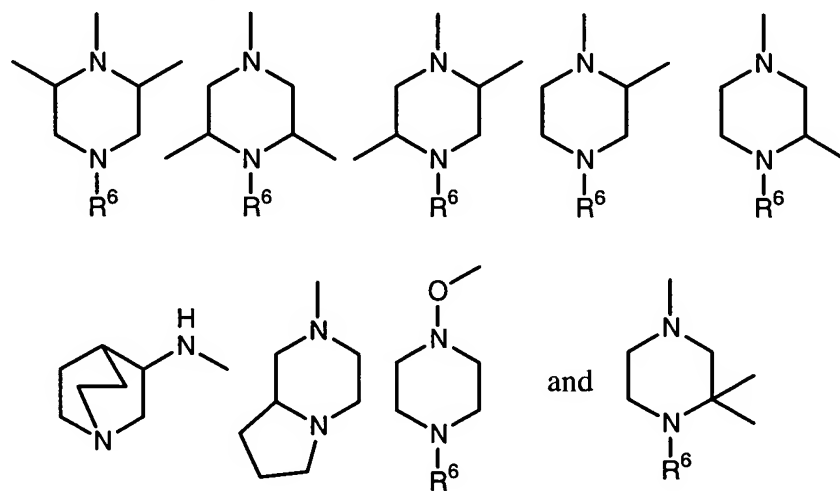
63. (New) The compound according to claim 62, wherein

Ar is

(1) phenyl that is unsubstituted or optionally mono- or poly-substituted with halogen,  $C_{1-6}$  alkyl,  $CF_3$ , hydroxyl,  $C_{1-6}$  alkoxy,  $OCF_3$ , CN,  $NO_2$ , phenyloxy, phenyl, alkylsulfonyl,  $C_{1-6}$  alkenyl,  $-NH_2$ ,  $-NHR^7$ ,  $-NR^7R^8$ ,  $C_{1-6}$  alkylcarboxyl, formyl,  $-NH-CO-C_{1-6}$  alkyl,  $-CO-NR^7R^8$ , or  $SR^7$  wherein each of  $R^7$  and  $R^8$  is independently H or  $C_{1-6}$  alkyl;

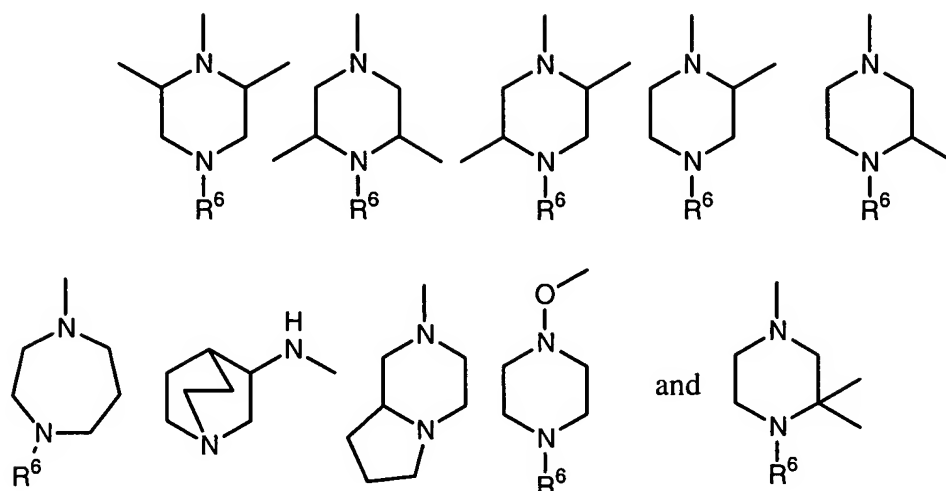
(2) 1-naphthyl or 2-naphthyl that is unsubstituted or optionally mono- or poly-substituted with halogen,  $C_{1-6}$  alkyl,  $CF_3$ , hydroxyl,  $C_{1-6}$  alkoxy,  $OCF_3$ , CN,  $NO_2$ , phenyloxy, phenyl, alkylsulfonyl,  $C_{2-6}$  alkenyl,  $-NH_2$ ,  $-NHR^7$ ,  $-NR^7R^8$ ,  $C_{1-6}$  alkylcarboxyl, formyl,  $-NH-CO-C_{1-6}$  alkyl,  $-CO-NR^7R^8$ , or  $SR^7$  wherein each of  $R^7$  and  $R^8$  is independently H or  $C_{1-6}$  alkyl;

$R^4$  is selected from the group consisting of:



wherein  $R^6$  is H,  $C_{1-6}$  alkyl, or benzyl; and

$R^5$  is H, hydroxy,  $C_{1-3}$  alkoxy, F,  $NO_2$ ,  $CF_3$ ,  $OCF_3$  or is selected from the group consisting of:



64. (New) A compound according to claim 62, wherein

Ar is

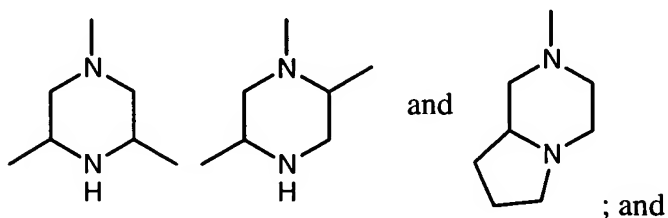
(1) phenyl,

(2) 1-naphthyl or 2-naphthyl,

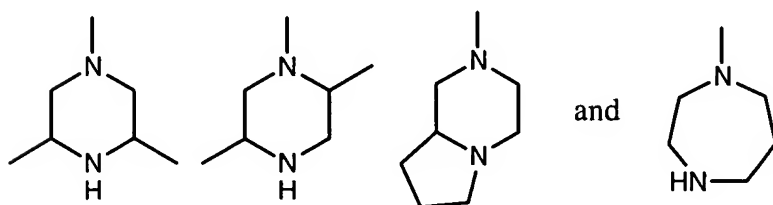
wherein the phenyl or naphthyl ring is optionally substituted with F, Cl, Br,  $C_{1-6}$  alkyl,  $CF_3$ , hydroxyl,  $C_{1-6}$  alkoxy,  $OCF_3$ , phenyl,  $C_{2-6}$  alkenyl,  $-NR^7R^8$ ,  $-NH-CO-C_{1-6}$  alkyl, or  $SR^7$ , wherein each of  $R^7$  and  $R^8$  is independently H or  $C_{1-6}$  alkyl; and  $R^9$  is  $C_{1-2}$  alkyl;

$R^2$  is H, phenyl, I, or  $C_{1-6}$  alkyl;

$R^4$  is selected from the group consisting of:



$R^5$  is  $C_{1-3}$  alkoxy or a heterocyclic ring selected from the group consisting of:

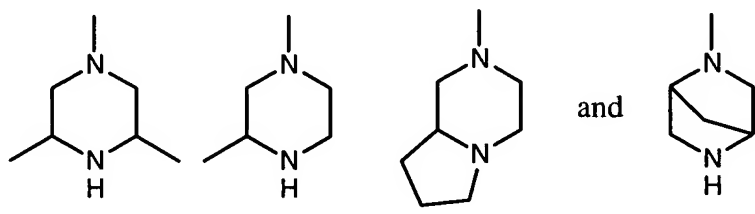
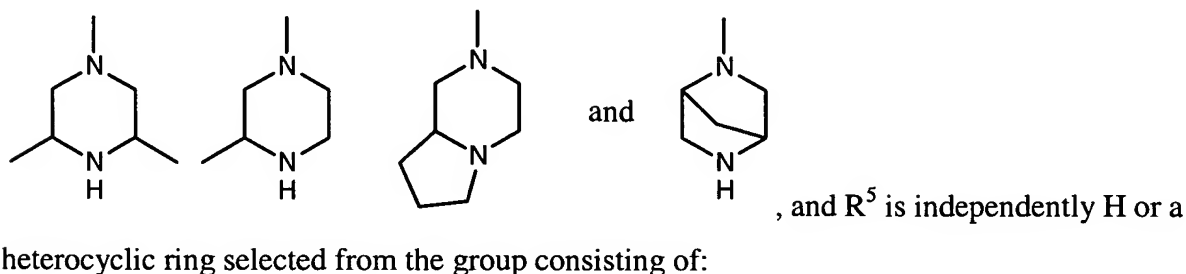


65. (New) A compound according to claim 62, wherein Ar is phenyl, optionally substituted with F, Cl, Br, methyl, CF<sub>3</sub>, C<sub>1-4</sub> alkoxy, OCF<sub>3</sub>, CN, NO<sub>2</sub>, phenyloxy, phenyl, methylsulfonyl, or -NR<sup>7</sup>R<sup>8</sup>, where each of R<sup>7</sup> and R<sup>8</sup> is independently H or methyl.

66. (New) A compound according to claim 62, wherein Ar is 1-naphthyl or 2-naphthyl, each of which is optionally substituted with F, Cl, Br, methyl, CF<sub>3</sub>, C<sub>1-4</sub> alkoxy, OCF<sub>3</sub>, CN, NO<sub>2</sub>, phenyloxy, phenyl, methylsulfonyl, or -NR<sup>7</sup>R<sup>8</sup>, where each of R<sup>7</sup> and R<sup>8</sup> is independently H or methyl.

67. (New) A compound according to claim 62, wherein each of R<sup>2</sup> and R<sup>3</sup> is H.

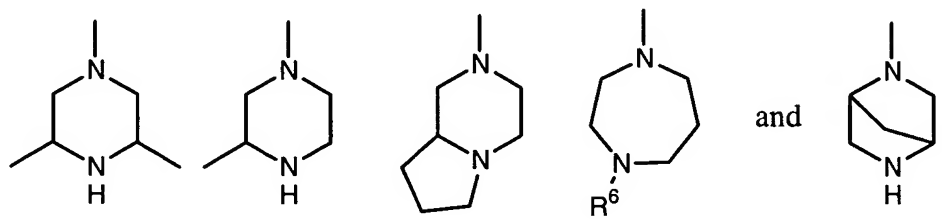
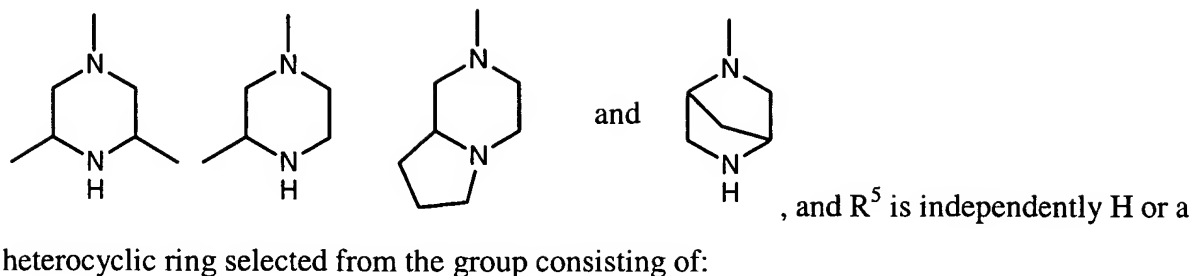
68. (New) A compound according to claim 62, wherein R<sup>4</sup> is independently a heterocyclic ring selected from the group consisting of:



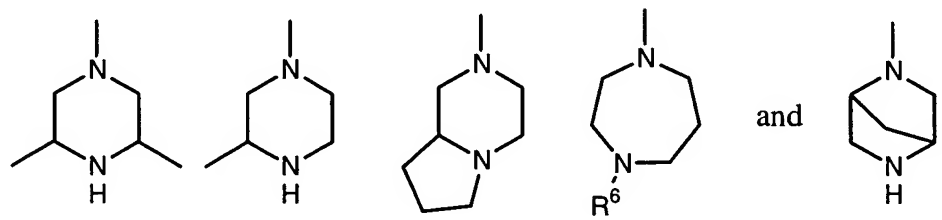
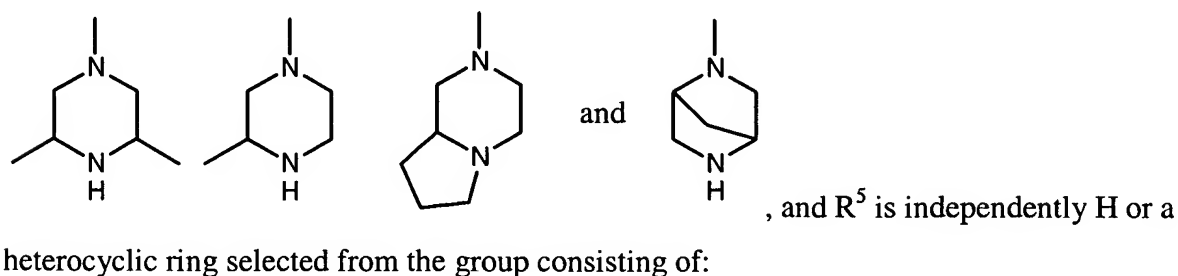
wherein R<sup>6</sup> is H, C<sub>1-3</sub> alkyl, or benzyl.

69. (New) A compound according to claim 62, wherein Ar is phenyl, optionally substituted with F, Cl, Br, methyl, CF<sub>3</sub>, C<sub>1-4</sub> alkoxy, OCF<sub>3</sub>, CN, NO<sub>2</sub>, phenyloxy, phenyl, methylsulfonyl, or -NR<sup>7</sup>R<sup>8</sup> where each of R<sup>7</sup> and R<sup>8</sup> is independently H or methyl; each of R<sup>2</sup> and R<sup>3</sup> is H; and R<sup>4</sup> is independently a heterocyclic ring selected from the group consisting of:





70. (New) A compound according to claim 62, wherein Ar is 1-naphthyl or 2-naphthyl, each of which is optionally substituted with F, Cl, Br, methyl, CF<sub>3</sub>, C<sub>1-4</sub> alkoxy, OCF<sub>3</sub>, CN, NO<sub>2</sub>, phenyloxy, phenyl, methylsulfonyl, or -NR<sup>7</sup>R<sup>8</sup>, where each of R<sup>7</sup> and R<sup>8</sup> is independently H or methyl; each of R<sup>2</sup> and R<sup>3</sup> is H; and R<sup>4</sup> is independently a heterocyclic ring selected from the group consisting of:



71. (New) A compound selected from the group consisting of:
- 4-(5-aza-indolizidiny)-1-(2-methylbenzenesulfonyl)-1H-indole hydrochloride,
  - 4-(3-methyl-1-piperaziny)-1-(2-methylbenzenesulfonyl)-1H-indole hydrochloride,
  - 4-(*cis*-3,5-dimethyl-1-piperaziny)-1-(2-methylbenzenesulfonyl)-1H-indole hydrochloride,
  - 4-((1S,4S)-2-methyl-2,5-diazabicyclo[2.2.1]heptyl)-1-(2-methylbenzenesulfonyl)-1H-indole hydrochloride,
  - 4-(*cis* 3,5-dimethyl-1-piperaziny)-1-(benzenesulfonyl)-1H-indole hydrochloride, and
  - 4-(3-methylpiperazine)-(N-(4-trifluoromethyl)phenylsulfonyl)indole dihydrochloride.

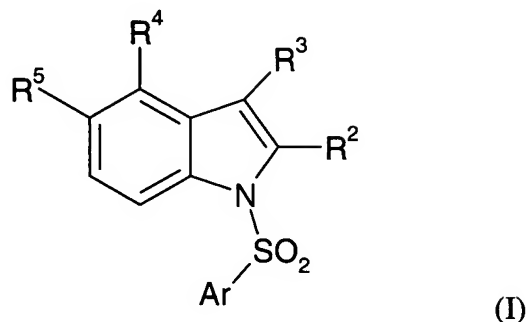
72. (New) A pharmaceutical composition comprising a compound of claim 62 and a pharmaceutically acceptable carrier.

73. (New) A pharmaceutical composition comprising a compound of claim 71 and a pharmaceutically acceptable carrier.

74. (New) A method of treatment of schizophrenia or depression comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 62.

75. (New) A method of treatment of schizophrenia or depression comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 71.

76. (New) A compound of formula (I):



wherein

Ar is

(1) phenyl, or

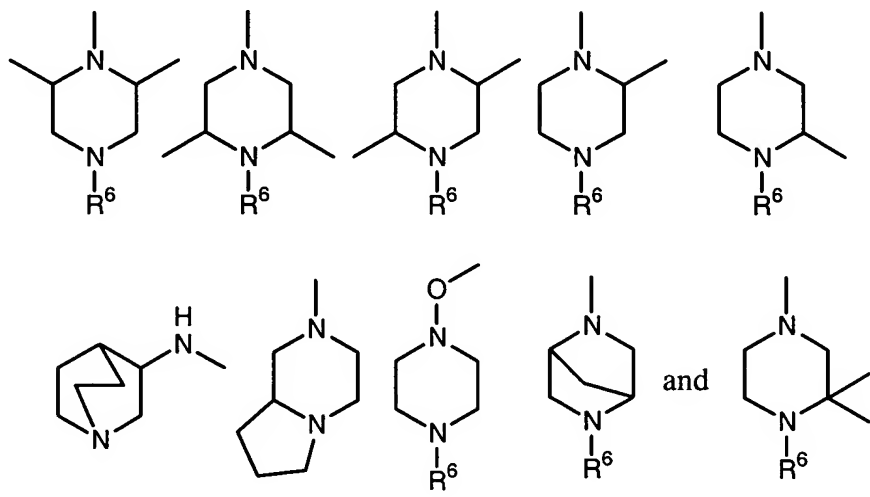
(2) naphthyl,

wherein the phenyl or naphthyl ring is optionally substituted with halogen, C<sub>1-6</sub> alkyl, CF<sub>3</sub>, hydroxyl, C<sub>1-6</sub> alkoxy, OCF<sub>3</sub>, COCF<sub>3</sub>, CN, NO<sub>2</sub>, phenyloxy, phenyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>2-6</sub> alkenyl, -NR<sup>7</sup>R<sup>8</sup>, C<sub>1-6</sub> alkylcarboxyl, formyl, -C<sub>1-6</sub> alkyl-NH-CO-phenyl, -C<sub>1-6</sub> alkyl-CO-NH-phenyl, -NH-CO-C<sub>1-6</sub> alkyl, -CO-NR<sup>7</sup>R<sup>8</sup>, or SR<sup>7</sup>; wherein each of R<sup>7</sup> and R<sup>8</sup> is independently H or C<sub>1-6</sub> alkyl; and R<sup>9</sup> is C<sub>1-6</sub> alkyl or C<sub>2-6</sub> alkenyl, either of which is optionally substituted with phenyl or phenyloxy;

R<sup>2</sup> is H, phenyl, I, or C<sub>1-6</sub> alkyl;

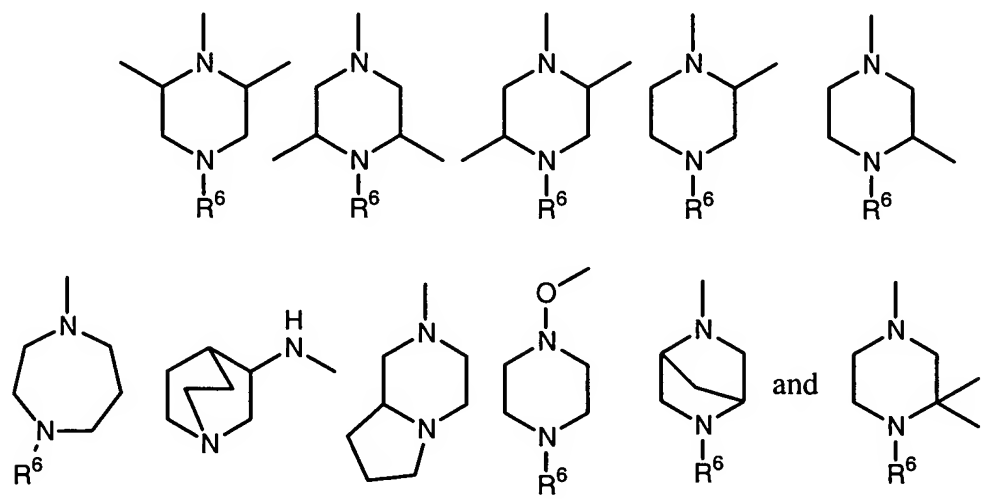
R<sup>3</sup> is H or 3-(1-azabicyclo[2.2.2]oct-2-en)yl;

R<sup>4</sup> is H or is selected from the group consisting of:



wherein  $R^6$  is H,  $C_{1-6}$  alkyl, or benzyl; and

$R^5$  is hydroxy,  $C_{1-3}$  alkoxy, F,  $NO_2$ ,  $CF_3$ ,  $OCF_3$ , or is selected from the group consisting of:

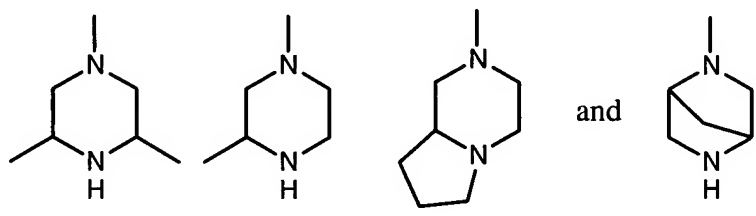


or a pharmaceutically acceptable salt, hydrate, or stereoisomer thereof,  
with the proviso that when  $R^2$  is alkyl,  $R^4$  is not H.

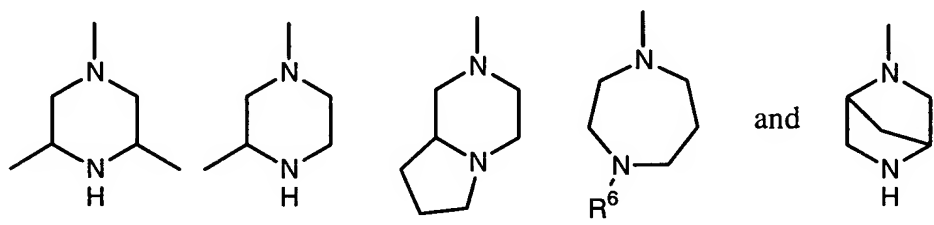
77. (New) The compound of claim 62, wherein  $R^5$  is H.
78. (New) The compound of claim 76, wherein  $R^4$  is H.
79. (New) A pharmaceutical composition comprising a compound of claim 76 or 78 and a pharmaceutically acceptable carrier.
80. (New) A method of treatment of schizophrenia or depression comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 76.
81. (New) A method of treating schizophrenia or depression, comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 76.

82. (New) A method of treating schizophrenia or depression, comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 77 or 78.

83. (New) A compound according to claim 76, wherein  $R^4$  is independently H or a heterocyclic ring selected from the group consisting of:

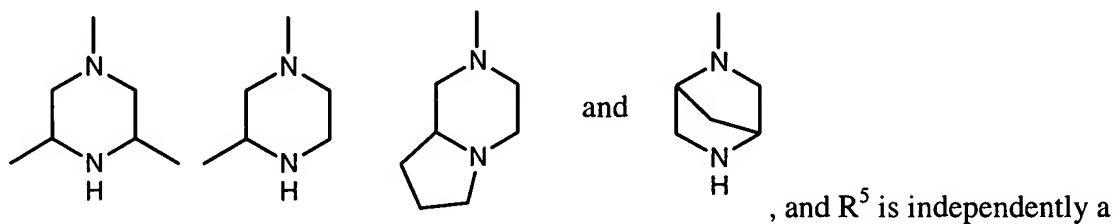


and  $R^5$  is independently a heterocyclic ring selected from the group consisting of:

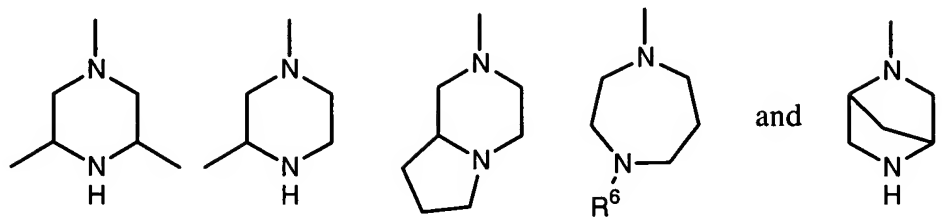


wherein  $R^6$  is H,  $C_{1-3}$  alkyl, or benzyl.

84. (New) A compound according to claim 76, wherein Ar is phenyl, optionally substituted with F, Cl, Br, methyl,  $CF_3$ ,  $C_{1-4}$  alkoxy,  $OCF_3$ , CN,  $NO_2$ , phenoxy, phenyl, methylsulfonyl, or  $-NR^7R^8$  where each of  $R^7$  and  $R^8$  is independently H or methyl; each of  $R^2$  and  $R^3$  is H; and  $R^4$  is independently H or a heterocyclic ring selected from the group consisting of:

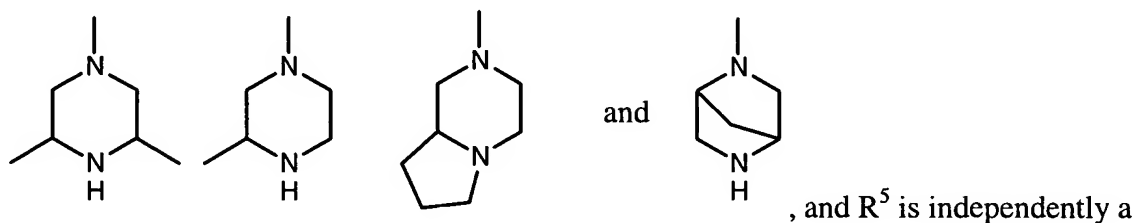


heterocyclic ring selected from the group consisting of:

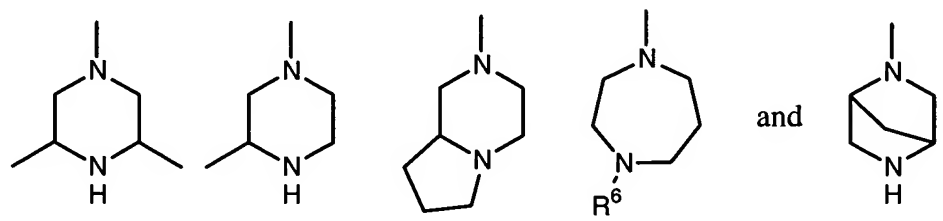


wherein  $R^6$  is H,  $C_{1-3}$  alkyl, or benzyl.

85. (New) A compound according to claim 76, wherein Ar is 1-naphthyl or 2-naphthyl, each of which is optionally substituted with F, Cl, Br, methyl,  $CF_3$ ,  $C_{1-4}$  alkoxy,  $OCF_3$ , CN,  $NO_2$ , phenoxy, phenyl, methylsulfonyl, or  $-NR^7R^8$ , where each of  $R^7$  and  $R^8$  is independently H or methyl; each of  $R^2$  and  $R^3$  is H; and  $R^4$  is independently H or a heterocyclic ring selected from the group consisting of:



heterocyclic ring selected from the group consisting of:



wherein  $R^6$  is H,  $C_{1-3}$  alkyl, or benzyl.

86. (New) A method of treatment of schizophrenia or depression comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 77.

87. (New) A pharmaceutical composition comprising a compound of claim 77 and a pharmaceutically acceptable carrier.

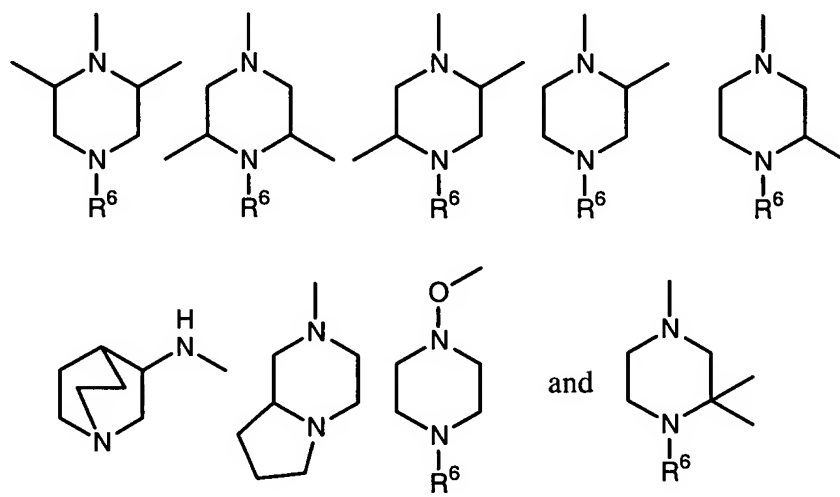
88. (New) The compound according to claim 76, wherein

Ar is

(1) phenyl that is unsubstituted or optionally mono- or poly-substituted with halogen,  $C_{1-6}$  alkyl,  $CF_3$ , hydroxyl,  $C_{1-6}$  alkoxy,  $OCF_3$ , CN,  $NO_2$ , phenyloxy, phenyl, alkylsulfonyl,  $C_{1-6}$  alkenyl,  $-NH_2$ ,  $-NHR^7$ ,  $-NR^7R^8$ ,  $C_{1-6}$  alkylcarboxyl, formyl,  $-NH-CO-C_{1-6}$  alkyl,  $-CO-NR^7R^8$ , or  $SR^7$  wherein each of  $R^7$  and  $R^8$  is independently H or  $C_{1-6}$  alkyl;

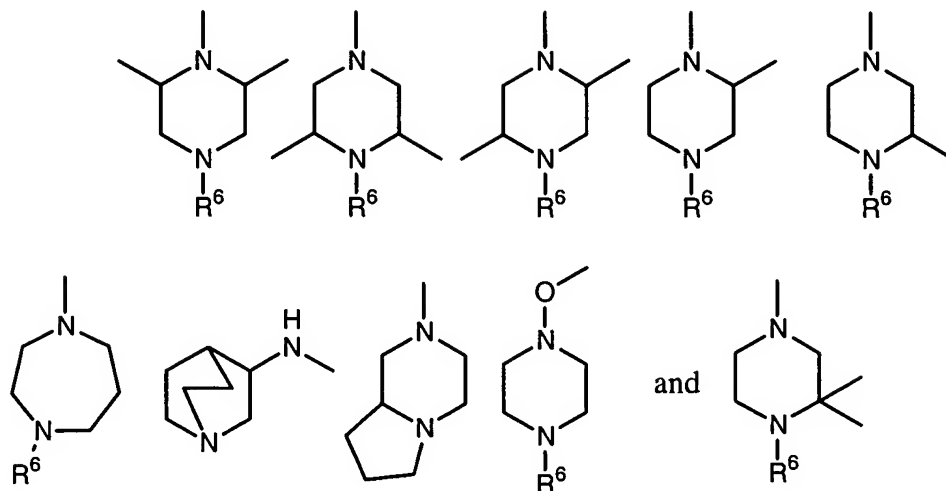
(2) 1-naphthyl or 2-naphthyl that is unsubstituted or optionally mono- or poly-substituted with halogen,  $C_{1-6}$  alkyl,  $CF_3$ , hydroxyl,  $C_{1-6}$  alkoxy,  $OCF_3$ , CN,  $NO_2$ , phenyloxy, phenyl, alkylsulfonyl,  $C_{2-6}$  alkenyl,  $-NH_2$ ,  $-NHR^7$ ,  $-NR^7R^8$ ,  $C_{1-6}$  alkylcarboxyl, formyl,  $-NH-CO-C_{1-6}$  alkyl,  $-CO-NR^7R^8$ , or  $SR^7$  wherein each of  $R^7$  and  $R^8$  is independently H or  $C_{1-6}$  alkyl;

$R^4$  is H or is selected from the group consisting of:

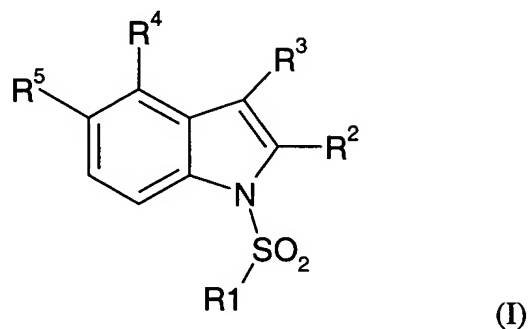


wherein  $R^6$  is H,  $C_{1-6}$  alkyl, or benzyl; and

$R^5$  is hydroxy,  $C_{1-3}$  alkoxy, F,  $NO_2$ ,  $CF_3$ ,  $OCF_3$  or is selected from the group consisting of:



89. (New) A compound of formula (I):



wherein

$R^1$  is  $-\text{SO}_2\text{Ar}$ ;  $-\text{SO}_2(\text{alkyl})$ ;

Ar is phenyl, optionally substituted with F, Cl, Br,  $\text{C}_{1-6}$  alkyl,  $\text{CF}_3$ , hydroxyl,  $\text{C}_{1-6}$  alkoxy,  $\text{OCF}_3$ ,  $\text{NO}_2$ , amino, alkylamino, dialkylamino, methylcarboxyl, aminocarbonyl, or  $\text{SR}^7$ ; wherein  $R^7$  is H or  $\text{C}_{1-6}$  alkyl; 1-naphthyl, 2-naphthyl;

$R^2$  is H or linear or branched  $\text{C}_{1-4}$  alkyl;

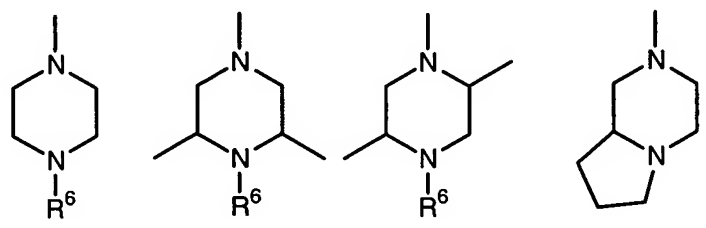
$R^3$  is H, or 3-(1-azabicyclo[2.2.2]oct-2-en)yl, or 3-quinuclidinyl;



[illegible]

and pharmaceutically acceptable salts, hydrates, or stereoisomeric forms thereof.

$R^4$  is selected from the group consisting of:



R<sup>5</sup> is H or C<sub>1-3</sub> alkoxy.

91. (New) The compound of claim 89, wherein the compound is selected from:

- 1-(phenylsulfonyl)-4-(1-piperazinyl)-1H-indole,
- 1-[(4-fluorophenyl)sulfonyl]-4-(1-piperazinyl)-1H-indole,
- 3-(1-azabicyclo[2.2.2]oct-2-en-3-yl)-1-(phenylsulfonyl)-1H-indole,
- 5-methoxy-1-(phenylsulfonyl)-4-(1-piperazinyl)-1H-indole,
- 4-(4-ethyl-1-piperazinyl)-1-(phenylsulfonyl)-1H-indole,
- 1-[(4-methylphenyl)sulfonyl]-4-(4-methyl-1-piperazinyl)-1H-indole,
- 1-(phenylsulfonyl)-5-(1-piperazinyl)-1H-indole,
- 4-(2,5-dimethyl-1-piperazinyl)-1-(phenylsulfonyl)-1H-indole,
- 4-(2,6-dimethyl-1-piperazinyl)-1-(phenylsulfonyl)-1H-indole,
- 4-(1,4-diazepan-1-yl)-1-(phenylsulfonyl)-1H-indole,
- 2-[1-(phenylsulfonyl)-1H-indol-4-yl]octahydropyrrolo[1,2-a]pyrazine-1-(2-naphthylsulfonyl)-4-(1-piperazinyl)-1H-indole,
- 1-(1-naphthylsulfonyl)-4-(1-piperazinyl)-1H-indole,
- 1-[(4-methylphenyl)sulfonyl]-4-(1-piperazinyl)-1H-indole,
- N-(1-azabicyclo[2.2.2]oct-3-yl)-N-{1-[(4-methylphenyl)sulfonyl]-1H-indol-4-yl} amine,
- 2-ethyl-4-(4-ethyl-1-piperazinyl)-1-[(phenyl)sulfonyl]-1H-indole,
- 4-(2,5-dimethyl-1-piperazinyl)-2-ethyl-1-(phenylsulfonyl)-1H-indole,
- 4-(2,5-dimethyl-1-piperazinyl)-1-[(4-methylphenyl)sulfonyl]-2-propyl-1H-indole,
- 4-(4-ethyl-1-piperazinyl)-1-[(4-methylphenyl)sulfonyl]-2-propyl-1H-indole,
- 4-(4-ethyl-1-piperazinyl)-5-fluoro-1-[(4-methylphenyl)sulfonyl]-1H-indole,
- 5-fluoro-4-(1-piperazinyl)-1-{[4-(trifluoromethyl)phenyl]sulfonyl}-1H-indole,
- 5-chloro-1-(phenylsulfonyl)-4-(1-piperazinyl)-1H-indole,
- 1-[(5-chloro-3-methyl-1-benzothien-2-yl)sulfonyl]-5-methoxy-4-(1-piperazinyl)-1H-indole,
- 1-[(4-methylphenyl)sulfonyl]-4-(3-methyl-1-piperazinyl)-1H-indole,

1-[(4-methylphenyl)sulfonyl]-4-(piperidinyloxy)-1H-indole, or  
2-ethyl-1-(4-methyl-phenylsulfonyl)-4-(1-piperazinyl)-1H-indole.

92. (New) The compound of claim 91, wherein the compound is 1-(phenylsulfonyl)-4-(1-piperazinyl)-1H-indole.

93. (New) The compound of claim 91, wherein the compound is 1-[(4-fluorophenyl)sulfonyl]-4-(1-piperazinyl)-1H-indole.

94. (New) The compound of claim 91, wherein the compound is 1-[(5-chloro-3-methyl-1-benzothien-2-yl)sulfonyl]-4-(1-piperazinyl)-1H-indole.

95. (New) A method of treatment of schizophrenia or depression comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 89.

96. (New) A method of treatment of schizophrenia or depression comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 90.

97. (New) A method of treatment of schizophrenia or depression comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to claim 91.

98. (New) A pharmaceutical composition comprising a compound of claim 89 and a pharmaceutically acceptable carrier.

99. (New) A pharmaceutical composition comprising a compound of claim 90 and a pharmaceutically acceptable carrier.

Applicant : Patrizia Caldirola et al.  
Serial No. : 10/037,110  
Filed : October 22, 2001  
Page : 37 of 47

Attorney's Docket No.: 13425-052001 / 00382-US

100. (New) A pharmaceutical composition comprising a compound of claim 91 and a pharmaceutically acceptable carrier.